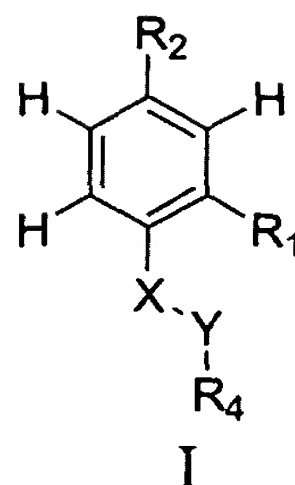


What is claimed is:

1. A compound of formula I,



- 5 or a pharmaceutically acceptable salt thereof,
wherein

X = NH

Y = CO, CS, -C(=N-CN) or

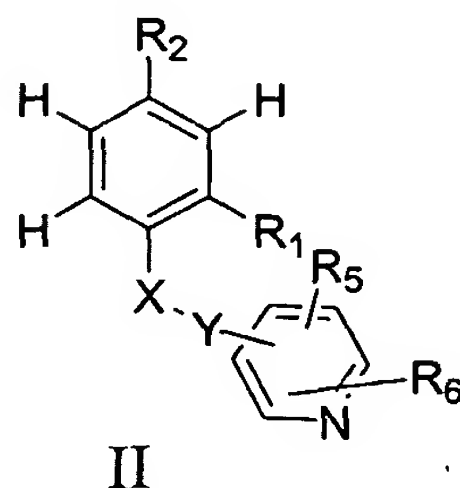
X and Y together form an alkene, or C₃-C₅ cycloalkyl;

- 10 R₁ is -COOH;

R₂ is an electron withdrawing group; and

R₄ is an optionally substituted HET, provided that the HET is not simultaneously substituted with a sulfonamide and a urea or thiourea.

- 15 2. The compound of claim 1 having a formula II



or a pharmaceutically acceptable salt thereof,
wherein

X = NH

- 20 Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -COOH;

R₂ is an electron withdrawing group;

- 25 R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R_6 is selected from H, halo, HET, -CN, NH_2 , NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET, and -NH-CO-aryl;

R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET, and substituted HET;

5 R_8 is H, alkyl, substituted alkyl, aryl, substituted aryl, HET, substituted HET, cycloalkyl, substituted cycloalkyl;

Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, $-OQ_{16}$, $-SQ_{16}$, $-S(O)_2Q_{16}$, $-S(O)Q_{16}$, $-OS(O)_2Q_{16}$, -
 10 $C(=NQ_{16})Q_{16}$, $-S(O)_2-N=S(O)(Q_{16})_2$, $-S(O)_2-N=S(Q_{16})_2$, $-SC(O)Q_{16}$, $-NQ_{16}Q_{16}$, -
 $C(O)Q_{16}$, $-C(S)Q_{16}$, $-C(O)OQ_{16}$, $-OC(O)Q_{16}$, $-C(O)NQ_{16}Q_{16}$, $-C(S)NQ_{16}Q_{16}$,
 $-C(O)C(Q_{16})_2OC(O)Q_{16}$, -CN, $-NQ_{16}C(O)Q_{16}$, $-NQ_{16}C(S)Q_{16}$, $-NQ_{16}C(O)NQ_{16}Q_{16}$,
 $-NQ_{16}C(S)NQ_{16}Q_{16}$, $-S(O)_2NQ_{16}Q_{16}$, $-NQ_{16}S(O)_2Q_{16}$, $-NQ_{16}S(O)Q_{16}$, $-NQ_{16}SQ_{16}$, -
 NO_2 , and $-SNQ_{16}Q_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally
 15 substituted with =O or =S;

Each Q_{16} is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, $-(CZ_2)-$, or $-(CHZ_3)-$;

Z_1 is O;

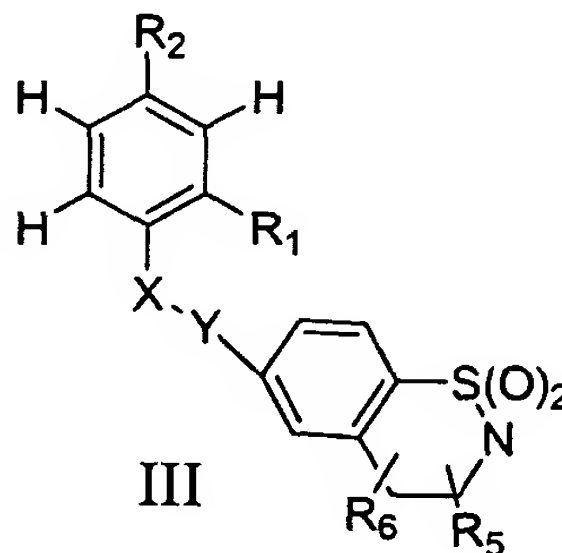
20 Z_2 is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z_3 is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

25 3. The compound of claim 1 having a formula III



or a pharmaceutically acceptable salt thereof,
 wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -COOH;

5 R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET, -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET, and -NH-CO-aryl;

10 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET, substituted HET, cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, | -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

25 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

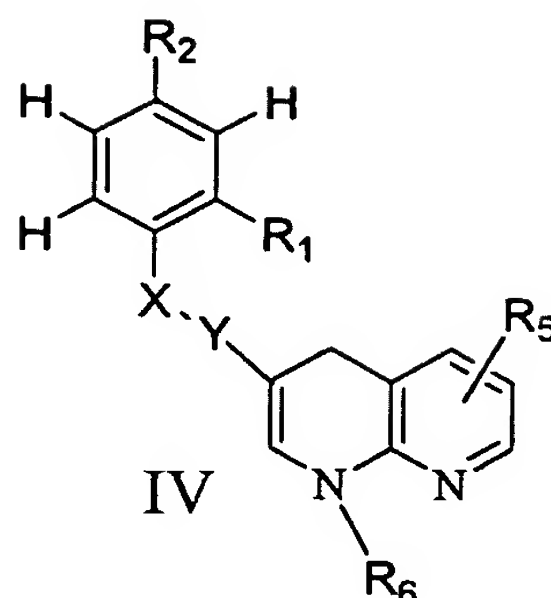
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

30 k is 0, 1, or 2.

4. The compound of claim 1 having a formula IV



or a pharmaceutically acceptable salt thereof,

wherein

X = NH

5 Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -COOH;

R₂ is an electron withdrawing group;

10 R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET, -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET, and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

15 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET, substituted HET, cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆,
 20 -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally
 25 substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z_1 is O;

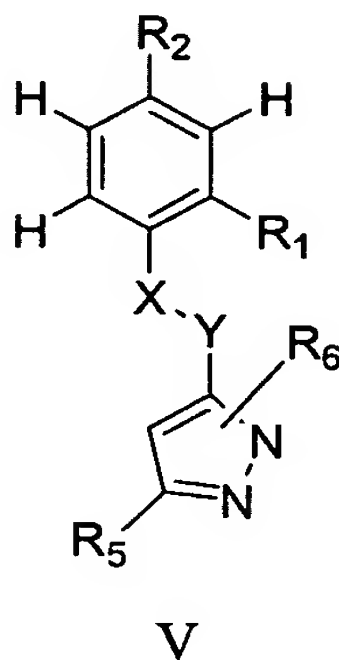
Z_2 is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z_3 is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

5 k is 0, 1, or 2.

5. The compound of claim 1 having a formula V



10 or a pharmaceutically acceptable salt thereof,
wherein

$X = NH$

$Y = CO, CS, -C(=N-CN)$ or

X and Y together form an alkene, or C_3 - C_5 cycloalkyl;

15 R_1 is $-COOH$;

R_2 is an electron withdrawing group;

R_5 is $-(CH_2)_k-S(O)_i-R_7$, $-NH-SO_2-R_7$, $-(CH_2)_k-W-R_8$, $-NH-(CZ_1)-R_8$, $-NH-(CZ_1)-NR_8$, substituted aryl, substituted C_{1-4} alkyl, or substituted C_{1-4} alkenyl;

20 R_6 is selected from H, halo, HET, $-CN$, NH_2 , NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, $-NH-CO-HET$, and $-NH-CO$ -aryl;

R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET, and substituted HET;

R_8 is H, alkyl, substituted alkyl, aryl, substituted aryl, HET, substituted HET, cycloalkyl, substituted cycloalkyl;

25 Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from $-F$, $-Cl$, $-Br$, $-I$, $-OQ_{16}$, $-SQ_{16}$, $-S(O)_2Q_{16}$, $-S(O)Q_{16}$, $-OS(O)_2Q_{16}$, $-C(=NQ_{16})Q_{16}$, $-S(O)_2-N=S(O)(Q_{16})_2$, $-S(O)_2-N=S(Q_{16})_2$, $-SC(O)Q_{16}$, $-NQ_{16}Q_{16}$,

-C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆,
 -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆,
 -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆,
 -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally
 5 substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl
 and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

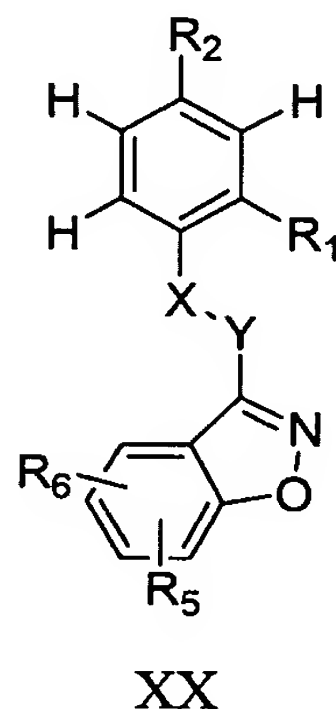
10 Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

15 6. The compound of claim 1 having a formula XX



or a pharmaceutically acceptable salt thereof,

wherein

20 X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -COOH;

R₂ is an electron withdrawing group;

25 R₅ is H, halo, NO₂, CN, -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈ -NH-
 (CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, -(CH₂)_k-NR₈R₈, substituted aryl,
 substituted HET, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R_6 is selected from H, halo, aryl, substituted aryl, HET, substituted HET, -CN, NH_2 , NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, $-(CH_2)_k-S(O)_i-R_7$, -NH- SO_2-R_7 , $-(CH_2)_k-W-R_8$, -NH-(CZ₁)- R_8 , -(CZ₁)-NH- R_8 , -NH-(CZ₁)- NR_8R_8 , or substituted C₁₋₄alkenyl;

5 R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET, and substituted HET;

Each R_8 is independently H, alkyl, substituted alkyl, -O Q_{16} , aryl, substituted aryl, HET, substituted HET, cycloalkyl, and substituted cycloalkyl, or two R_8 substituents when attached to the same atom may be taken together to form a 5-8
10 membered ring, wherein the ring includes the atom to which the two R_8 substituents attach;

Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -O Q_{16} , -S Q_{16} , -S(O)₂ Q_{16} , -S(O) Q_{16} , -OS(O)₂ Q_{16} ,
15 -C(=N Q_{16}) Q_{16} , -S(O)₂-N=S(O)(Q_{16})₂, -S(O)₂-N=S(Q_{16})₂, -SC(O) Q_{16} , -N $Q_{16}Q_{16}$, -C(O) Q_{16} , -C(S) Q_{16} , -C(O)O Q_{16} , -OC(O) Q_{16} , -C(O)N $Q_{16}Q_{16}$, -C(S)N $Q_{16}Q_{16}$, -(O)C(Q_{16})₂OC(O) Q_{16} , -CN, -N $Q_{16}C(O)Q_{16}$, -N $Q_{16}C(S)Q_{16}$, -N $Q_{16}C(O)NQ_{16}Q_{16}$, -N $Q_{16}C(S)NQ_{16}Q_{16}$, -S(O)₂N $Q_{16}Q_{16}$, -N $Q_{16}S(O)_2Q_{16}$, -N $Q_{16}S(O)Q_{16}$, -N $Q_{16}SQ_{16}$, -NO₂, and -SN $Q_{16}Q_{16}$. The alkyl, cycloalkyl, and
20 cycloalkenyl being further optionally substituted with =O or =S;

Each Q_{16} is independently selected from -H, alkyl, cycloalkyl, phenyl, benzyl, -CH₂-substituted phenyl, and Het in which each of alkyl, cycloalkyl, phenyl, and Het optionally include 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-, provided that W is not S or O when R_5 or R_6
25 are $-(CH_2)_k-W-OR_{16}$;

Z_1 is =O;

Z_2 is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z_3 is -OH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

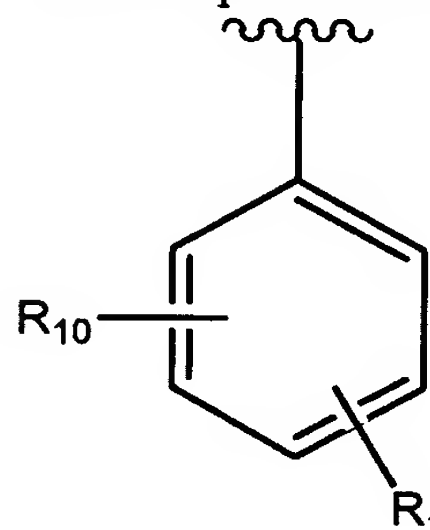
i is 0, 1, or 2; and

30 k is 0, 1, or 2.

7. The compound of claim 6, wherein at least one of R_5 and R_6 is a substituted phenyl or substituted HET.

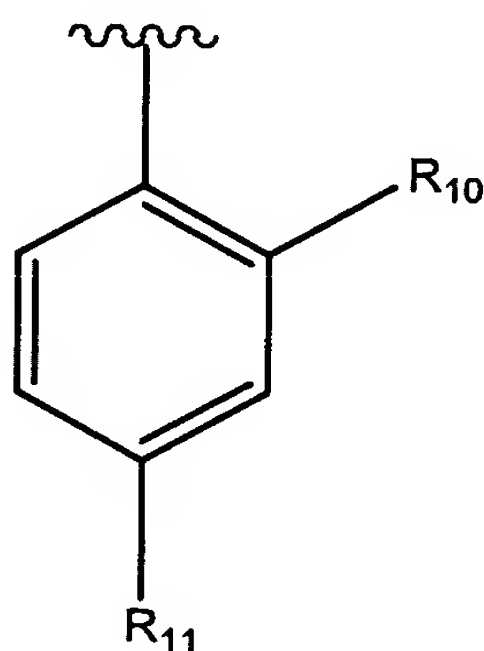
8. The compound of claim 7, wherein at least one of R_5 and R_6 is pyridine, pyrimidine, pyridazine, or pyrazine, each of which is optionally substituted with the substituents described for substituted HET.

5 9. The compound of claim 7, wherein the substituted phenyl has the formula



R_{11} , wherein each R_{10} and R_{11} is selected from -F, -Cl, -Br, -I, -OQ₁₆, -Q₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, - (O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, - NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆.

10. The compound of claim of claim 8, wherein the substituted phenyl has the formula



11. The compound of claim 6, wherein one of R_5 or R_6 is -NH-(CZ₁)-NR₈R₈.

12. The compound of claim 11, wherein -NR₈R₈ forms a 5-8 membered ring.

13. The compound of claim 12, wherein the ring is morpholino, pyrrolidinyl, or piperdiny.

14. The compound of claim 11, wherein at least one of the R_8 substituents is benzyl or $-\text{CH}_2$ -substituted phenyl.

5 15. The compound of claim 6, wherein one of R_5 or R_6 is $-(\text{CH}_2)_k-\text{S}(\text{O})_i-\text{R}_7$ or $-\text{NH}-\text{SO}_2-\text{R}_7$.

16. The compound of claim 15, wherein R_7 is het, substituted het, alkyl, or substituted alkyl.

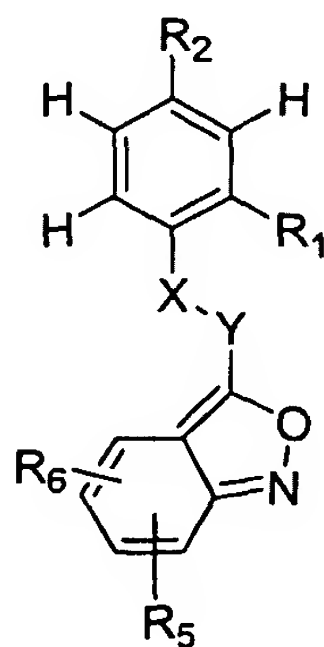
10

17. The compound of claim 16, wherein het is indoliny, pyrrolindiny, or indolyl, pyrrolyl.

18. The compound of claim 16, wherein substituted het includes a het substituent substituted with 1-3 of halo or CN.

19. The compound of claim 16, wherein substituted alkyl is an alkyl substituted with 1-3 of OH, NH_2 , NHQ_{16} , $-\text{NR}_8\text{R}_8$.

20 20. The compound of claim 1 having a formula XXX



XXX

or a pharmaceutically acceptable salt thereof,
wherein

25

$\text{X} = \text{NH}$

$\text{Y} = \text{CO}, \text{CS}, -\text{C}(=\text{N}-\text{CN})$ or

X and Y together form an alkene, or C_3 - C_5 cycloalkyl;

R_1 is $-\text{COOH}$;

R_2 is an electron withdrawing group;

R_3 is H, halo, NO_2 , CN, $-(\text{CH}_2)_k-\text{S}(\text{O})_i-\text{R}_7$, $-\text{NH}-\text{SO}_2-\text{R}_7$, $-(\text{CH}_2)_k-\text{W}-\text{R}_8$, $-\text{NH}-(\text{CZ}_1)-\text{R}_8$, $-(\text{CZ}_1)-\text{NH}-\text{R}_8$, $-\text{NH}-(\text{CZ}_1)-\text{NR}_8\text{R}_8$, $-(\text{CH}_2)_k-\text{NR}_8\text{R}_8$, substituted aryl,
 5 substituted HET, substituted C_{1-4} alkyl, or substituted C_{1-4} alkenyl;

R_6 is selected from H, halo, aryl, substituted aryl, HET, substituted HET, $-\text{CN}$, NH_2 , NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, $-(\text{CH}_2)_k-\text{S}(\text{O})_i-\text{R}_7$, $-\text{NH}-\text{SO}_2-\text{R}_7$, $-(\text{CH}_2)_k-\text{W}-\text{R}_8$, $-\text{NH}-(\text{CZ}_1)-\text{R}_8$, $-(\text{CZ}_1)-\text{NH}-\text{R}_8$, $-\text{NH}-(\text{CZ}_1)-\text{NR}_8\text{R}_8$, or substituted C_{1-4} alkenyl;

10 R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-\text{N}(\text{Q}_{15})_2$, HET, and substituted HET;

Each R_8 is independently H, alkyl, substituted alkyl, $-\text{OQ}_{16}$, aryl, substituted aryl, HET, substituted HET, cycloalkyl, and substituted cycloalkyl, or two R_8 substituents when attached to the same atom may be taken together to form a 5-8
 15 membered ring, wherein the ring includes the atom to which the two R_8 substituents attach;

Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, $-\text{OQ}_{16}$, $-\text{SQ}_{16}$, $-\text{S}(\text{O})_2\text{Q}_{16}$, $-\text{S}(\text{O})\text{Q}_{16}$, $-\text{OS}(\text{O})_2\text{Q}_{16}$,
 20 $-\text{C}(=\text{NQ}_{16})\text{Q}_{16}$, $-\text{S}(\text{O})_2-\text{N}=\text{S}(\text{O})(\text{Q}_{16})_2$, $-\text{S}(\text{O})_2-\text{N}=\text{S}(\text{Q}_{16})_2$, $-\text{SC}(\text{O})\text{Q}_{16}$, $-\text{NQ}_{16}\text{Q}_{16}$, $-\text{C}(\text{O})\text{Q}_{16}$, $-\text{C}(\text{S})\text{Q}_{16}$, $-\text{C}(\text{O})\text{OQ}_{16}$, $-\text{OC}(\text{O})\text{Q}_{16}$, $-\text{C}(\text{O})\text{NQ}_{16}\text{Q}_{16}$, $-\text{C}(\text{S})\text{NQ}_{16}\text{Q}_{16}$, $-(\text{O})\text{C}(\text{Q}_{16})_2\text{OC}(\text{O})\text{Q}_{16}$, $-\text{CN}$, $-\text{NQ}_{16}\text{C}(\text{O})\text{Q}_{16}$, $-\text{NQ}_{16}\text{C}(\text{S})\text{Q}_{16}$, $-\text{NQ}_{16}\text{C}(\text{O})\text{NQ}_{16}\text{Q}_{16}$, $-\text{NQ}_{16}\text{C}(\text{S})\text{NQ}_{16}\text{Q}_{16}$, $-\text{S}(\text{O})_2\text{NQ}_{16}\text{Q}_{16}$, $-\text{NQ}_{16}\text{S}(\text{O})_2\text{Q}_{16}$, $-\text{NQ}_{16}\text{S}(\text{O})\text{Q}_{16}$, $-\text{NQ}_{16}\text{SQ}_{16}$, $-\text{NO}_2$, and $-\text{SNQ}_{16}\text{Q}_{16}$. The alkyl, cycloalkyl, and
 25 cycloalkenyl being further optionally substituted with $=\text{O}$ or $=\text{S}$;

Each Q_{16} is independently selected from $-\text{H}$, alkyl, cycloalkyl, phenyl, benzyl, $-\text{CH}_2$ -substituted phenyl, and Het in which each of alkyl, cycloalkyl, phenyl, and Het optionally include 1-3 halos;

W is O, S, $-(\text{CZ}_2)-$, or $-(\text{CHZ}_3)-$, provided that W is not S or O when R_5 or R_6
 30 are $-(\text{CH}_2)_k-\text{W}-\text{OR}_{16}$;

Z_1 is $=\text{O}$;

Z_2 is $=\text{O}$, $=\text{S}$, $=\text{N}-\text{OH}$, $=\text{N}-\text{O}$ -alkyl, or $=\text{N}-\text{O}$ -substituted alkyl;

Z_3 is $-\text{OH}$, $-\text{N}=\text{N}$ -alkyl, $-\text{NH}$ -alkyl, or $-\text{NH}$ -substituted alkyl;

i is 0, 1, or 2; and

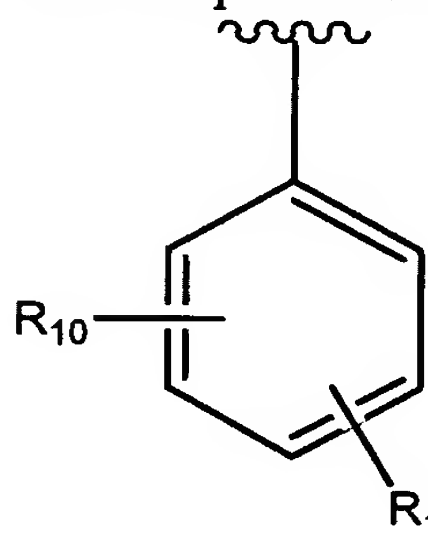
k is 0, 1, or 2.

21. The compound of claim 20, wherein at least one of R_5 and R_6 is a substituted
5 phenyl or substituted HET.

22. The compound of claim 21, wherein at least one of R_5 and R_6 is pyridine,
pyrimidine, pyridazine, or pyrazine, each of which is optionally substituted with the
substituents described for substituted HET.

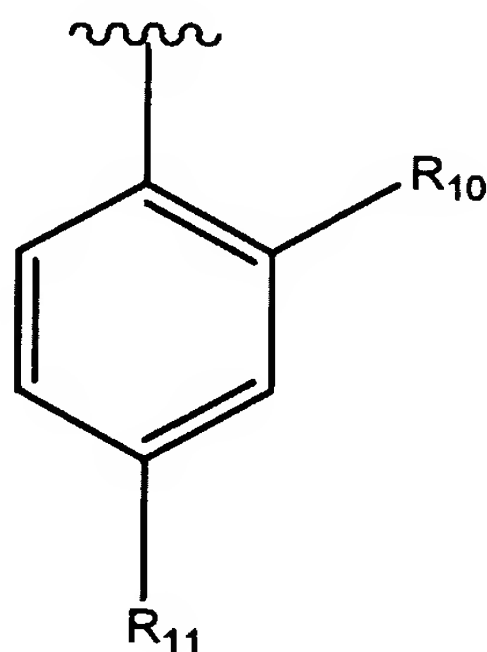
10

23. The compound of claim 21, wherein the substituted phenyl has the formula



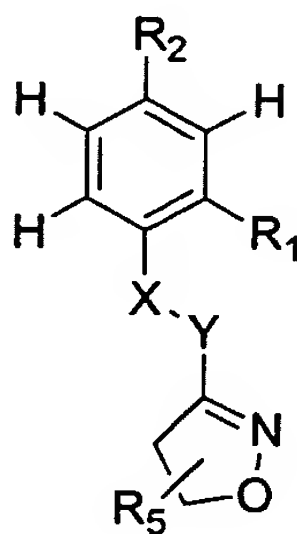
, wherein each R_{10} and R_{11} is selected from -F, -Cl, -Br, -I,
-OQ₁₆, -Q₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -
C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -
15 (O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -
NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂,
and -SNQ₁₆Q₁₆.

24. The compound of claim of claim 23, wherein the substituted phenyl has the
20 formula



25. The compound of claim 20, wherein one of R_5 or R_6 is -NH-(CZ₁)-NR₈R₈.

26. The compound of claim 25, wherein $-NR_8R_8$ forms a 5-8 membered ring.
27. The compound of claim 26, wherein the ring is morpholino, pyrrolidinyl, or piperidinyl.
- 5 28. The compound of 26, wherein at least one of the R_8 substituents is benzyl or $-CH_2$ -substituted phenyl.
29. The compound of claim 20, wherein one of R_5 or R_6 is $-(CH_2)_k-S(O)_i-R_7$ or -
- 10 $NH-SO_2-R_7$.
30. The compound of claim 29, wherein R_7 is het, substituted het, alkyl, or substituted alkyl.
- 15 31. The compound of claim 30, wherein het is indolinyl, pyrrolidinyl, or indolyl, pyrrolyl.
32. The compound of claim 30, wherein substituted het includes a het substituent substituted with 1-3 of halo or CN.
- 20 33. The compound of claim 30, wherein substituted alkyl is an alkyl substituted with 1-3 of OH, NH_2 , NHQ_{16} , $-NR_8R_8$.
- 25 34. The compound of claim 1 having a formula VII



VII

or a pharmaceutically acceptable salt thereof,
wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -COOH;

5 R₂ is an electron withdrawing group;

R₃ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET, -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET, and -NH-CO-aryl;

10 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET, substituted HET, cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently
 15 selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally
 20 substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

25 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

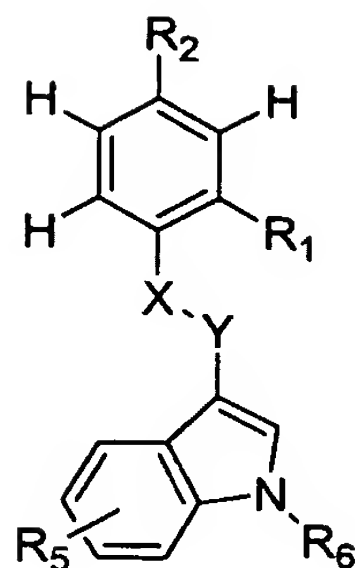
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

30 k is 0, 1, or 2.

35. The compound of claim 1 having a formula VIII



VIII

or a pharmaceutically acceptable salt thereof,

wherein

5 X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -COOH;

R₂ is an electron withdrawing group;

10 R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, C₁-C₄ alkyl, -CN, NH₂, NO₂;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

15 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET, substituted HET, cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

25

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z_1 is O;

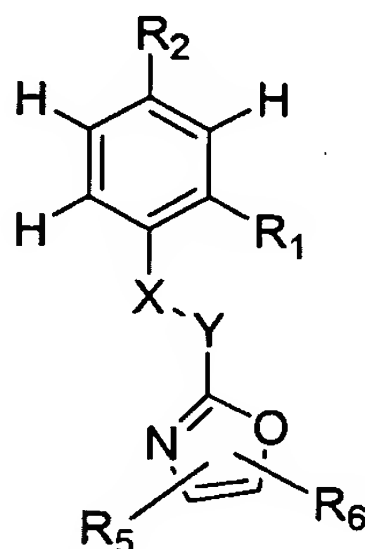
Z_2 is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z_3 is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

5 k is 0, 1, or 2.

36. The compound of claim 1 having a formula IX



IX

10 or a pharmaceutically acceptable salt thereof,
wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

15 R₁ is -COOH;

R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, -CN, NH₂, NO₂, alkyl;

20 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET, substituted HET, cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆,

-C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

5 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

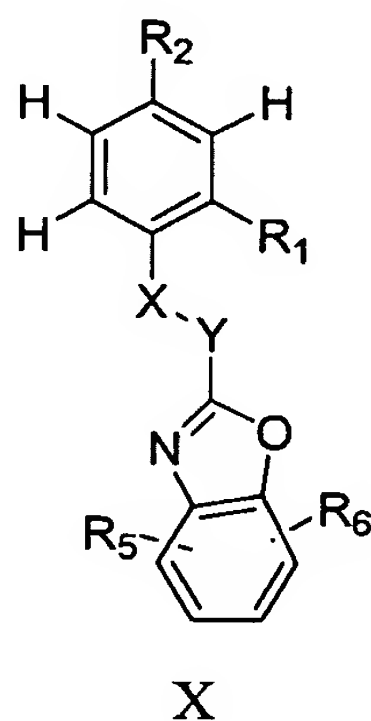
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

10 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

37. The compound of claim 1 having a formula X



15

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

20 Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -COOH;

R₂ is an electron withdrawing group;

25 R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET, -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET, and -NH-CO-aryl;

R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET, and substituted HET;

R_8 is H, alkyl, substituted alkyl, aryl, substituted aryl, HET, substituted HET, cycloalkyl, substituted cycloalkyl;

- 5 Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, $-OQ_{16}$, $-SQ_{16}$, $-S(O)_2Q_{16}$, $-S(O)Q_{16}$, $-OS(O)_2Q_{16}$, $-C(=NQ_{16})Q_{16}$, $-S(O)_2-N=S(O)(Q_{16})_2$, $-S(O)_2-N=S(Q_{16})_2$, $-SC(O)Q_{16}$, $-NQ_{16}Q_{16}$, $-C(O)Q_{16}$, $-C(S)Q_{16}$, $-C(O)OQ_{16}$, $-OC(O)Q_{16}$, $-C(O)NQ_{16}Q_{16}$, $-C(S)NQ_{16}Q_{16}$, $-C(O)C(Q_{16})_2OC(O)Q_{16}$, -CN, $-NQ_{16}C(O)Q_{16}$, $-NQ_{16}C(S)Q_{16}$, $-NQ_{16}C(O)NQ_{16}Q_{16}$, $-NQ_{16}C(S)NQ_{16}Q_{16}$, $-S(O)_2NQ_{16}Q_{16}$, $-NQ_{16}S(O)_2Q_{16}$, $-NQ_{16}S(O)Q_{16}$, $-NQ_{16}SQ_{16}$, $-NO_2$, and $-SNQ_{16}Q_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

- 15 Each Q_{16} is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, $-(CZ_2)-$, or $-(CHZ_3)-$;

Z_1 is O;

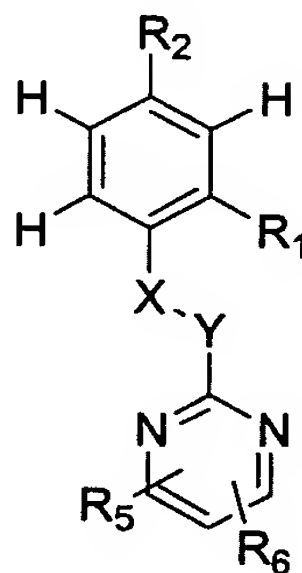
Z_2 is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z_3 is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

- 20 i is 0, 1, or 2; and

k is 0, 1, or 2.

38. The compound of claim 1 having a formula XI



XI

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -COOH;

R₂ is an electron withdrawing group;

5 R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET, -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET, and -NH-CO-aryl;

10 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET, substituted HET, cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently
 15 selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆,
 20 -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

25 Z₁ is O;

Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

30

39. The compound of claim 1, wherein Y is -CO-.

40. The compound of claim 1, wherein R_2 is halo, -CN, -NO₂, HET, substituted HET, aryl, substituted aryl, -(CO)-alkyl, -(CO)-substituted alkyl, -(CO)-aryl, -(CO)-substituted aryl, -(CO)-O-alkyl, -(CO)-O-substituted alkyl, -(CO)-O-aryl, -(CO)-O-substituted aryl, -OC(Z_n)₃, -C(Z_n)₃, -C(Z_n)₂-O-C(Z_m)₃, -SO₂-C(Z_n)₃, -SO₂-aryl, -CN(Q₁₇)₂, -C(NQ₁₇)Q₁₇, -CH=C(Q₁₇)₂, -C≡C-Q₁₇, in which each Z_n and Z_m is independently H, halo, -CN, -NO₂, -OH, or C₁₋₄alkyl optionally substituted with 1-3 halo, -OH, NO₂, provided that at least one of Z_n is halo, -CN, or NO₂.
41. The compound of claim 40, wherein R_2 is Br, Cl, F, I, -CN, formyl, methoxyimino, hydroxyimino, -CH₂-halo, CH₂-CN, phenyl, thienyl, pyrazinyl, 1-methyl-1H-pyrrol-2-yl, pyridin-2-yl, chlorophenyl, nitrophenyl, cyanophenyl, chlorothienyl, methylthienyl, fluorophenyl, (trifluoromethyl)phenyl, di(trifluoromethyl)phenyl, difluorophenyl, dimethylisoxazolyl, dimethoxypyrimidinyl.
42. The compound of claim 1, wherein R_5 is -NH₂, -SO₂-NH-alkyl, -SO₂-NH-substituted alkyl, -SO₂-NH-aryl, -NH-SO₂-aryl, -SO₂-NH-substituted aryl, -NH-SO₂-substituted aryl, -SO₂-NH-HET, -SO₂-NH-substituted HET, -SO₂-N(alkyl)(substituted alkyl), -SO₂-N(alkyl)(aryl), -SO₂-N(alkyl)(substituted aryl), -SO₂-N(alkyl)(HET), -SO₂-N(alkyl)(substituted HET), -S-alkyl, -S-substituted alkyl, -O-alkyl, -O-aryl, -S-substituted alkyl, -CH₂-S-alkyl, -CH₂-S-substituted alkyl, -(CH₂)₂-S-alkyl, -(CH₂)₂-S-substituted alkyl, -C(O)-aryl, -C(O)H, -C(OH)-aryl, -C(N-OCH₃)-aryl, -C(N-OH)-aryl, -C(O)-C₁₋₆cycloalkyl, -NH-C(O)-O-C₁₋₄alkyl, -NH-C(O)-aryl, -NH-C(O)-substituted aryl, -NH-C(O)-HET, -NH-C(O)-substituted HET, -NHC(O)NH-aryl, -NHC(O)NH-substituted aryl, -NHC(O)NH-het, -NHC(O)NH-substituted het.
43. The compound of claim 42, wherein R_5 is (diethylamino)sulfonyl, (1H-indol-5-yl)aminosulfonyl, (furylmethylamino)sulfonyl, (ethoxycarbonyl)-1-piperazinylsulfonyl, pyridinylethylaminosulfonyl, (benzylamino)sulfonyl, (2-hydroxy-1-methylethyl)aminosulfonyl, (4-carboxyanilino)sulfonyl, (3,4-dihydro-1(2H)-quinolinyl)sulfonyl, [2-(3,5-dimethoxyphenyl)ethyl]aminosulfonyl, [(3S)-3-hydroxypyrrolidinyl]sulfonyl, (ethylamino)sulfonyl, (3,5-dimethoxyanilino)sulfonyl, (2-hydroxy-2-phenylethyl)(methyl)amino]sulfonyl, (2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-methoxy-2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-fluoro-2,3-dihydro-1H-indol-1-

yl)sulfonyl, (1H-benzimidazol-1-yl)sulfonyl, (5-fluoro-1H-indol-1-yl)sulfonyl, (1H-indol-1-yl)sulfonyl, (6-fluoro-1H-indol-1-yl)sulfonyl, (5-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-5-fluoro-1H-indol-1-yl)sulfonyl, (1H-pyrrol-1-yl)sulfonyl, (5-methoxy-1H-indol-1-yl)sulfonyl, (1H-pyrrolo[2,3-b]pyridin-1-yl)sulfonyl, (5-bromo-2,3-dihydro-1H-indol-1-yl)sulfonyl, (3,3-dimethyl-2,3-dihydro-1H-indol-1-yl)sulfonyl, (4-chlorophenyl)(methylamino)sulfonyl, benzylthio, methyl(pyridin-2-yl)amino)sulfonyl, (1H-indol-1-yl)sulfonyl, (pyrrolidin-1-yl)sulfonyl, (2-methylpyrrolidin-1-yl)sulfonyl, (morpholin-4-yl)sulfonyl, (piperidin-1-yl)sulfonyl, (methoxy-1H-indol-1-yl)sulfonyl, {methyl[(1R)-1-phenylethyl]amino}sulfonyl, {methyl[(1S)-1-phenylethyl]amino}sulfonyl, [(2-aminophenyl)(methylamino)sulfonyl, (dipropylamino)sulfonyl, benzylsulfanyl, (dipropylamino)sulfanyl, (dipropylamino)sulfinyl, [4-chloro(methyl)anilino)sulfonyl, (phenylthio)methyl, benzyloxy, 3-(ethylthio), (pyridin-4-ylmethyl)thio, phenoxy, phenylthio, (pyridin-4-ylmethyl)thio, benzylthio, (1-phenylethyl)thio, cyclopentylthio, cyclopentylsulfinyl, benzoyl, hydroxy(phenyl)methyl, (methoxyimino)(phenyl)methyl, (hydroxyimino)(phenyl)methyl, cyclopentylcarbonyl, benzoylamino, furoylamino, (thien-2-ylacetyl)amino, (mesitylcarbonyl)amino, (1,3-benzodioxol-5-ylcarbonyl)amino, 3-(2,4-dimethoxybenzoyl)amino, (phenylthio)acetylamino, (anilinocarbonyl)amino, (2,4-difluorophenyl)amino carbonylamino, (3-cyanophenyl)aminocarbonylamino, (3-acetylphenyl)aminocarbonylamino, - (trifluoromethoxy)phenylsulfonylamino, (thien-2-ylacetyl)amino, (5-nitro-2-furoyl)amino, (5-chloro-2-methoxyphenyl)aminocarbonylamino, (4-phenoxyphenyl)aminocarbonylamino, (4-acetylphenyl)aminocarbonylamino, phenylethynyl, 2-phenylethyl, 4-Chlorophenyl, benzyloxy, phenoxy, alkylthio, phenyl, dihalophenyl, amino, acetylamino, benzoylamino, phenylacetylamino, methylsulfonylamino, phenylsulfonylamino, benzylsulfonylamino, benzyloxy, hydroxy, 3-phenoxypropoxy, (2,3-dihydro-1,4-benzodioxin-2-yl)methoxy, cyclobutylmethoxy, (2,2-dimethyl-1,3-dioxolan-4-yl)methoxy, 2,3-dihydroxypropoxy, cyclobutylmethoxy, 2-methoxy-1-methylethoxy, isopropoxy, cyclopropylmethoxy, cyclohexylmethoxy, 2-methoxyethoxy, tetrahydro-2H-pyran-2-yl-methoxy, (oxiran-2-yl)methoxy, 2-hydroxy-3-isopropoxypropoxy, furylmethoxy, pentyloxy, phenylacetylamino, Benzoylamino, Acetyloxyacetylamino, cyclopentylcarbonylamino, 6-Chloropyridin-3-ylcarbonylamino, isoxazol-5-ylcarbonylamino, 2,4-difluorobenzoylamino, fluoroacetylamino,

Acetylamino, 4-Chlorophenylacetylamino, 4-methoxyphenylacetylamino,
 cyclopentylacetylamino, 3-fluorobenzoylamino, 3-cyanophenylacetylamino,
 cyclohexylcarbonylamino, propionylamino, 5-methoxy-5-oxopentanoylamino,
 Butyrylamino, 4-Bromobenzoylamino, 3-phenylpropanoylamino, phenoxyacetylamino,
 5 3-cyclopentylpropanoylamino, 3-methoxy-3-oxopropanoylamino, 2-
 ethylhexanoylamino, 3,4-dimethoxyphenylacetylamino, 3,5,5-trimethylhexanoylamino,
 cyclopropylcarbonylamino, methoxyacetylamino, 3-methylbutanoylamino,
 pentanoylamino, 4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]hept-1-ylcarbonylamino,
 Chloro(phenyl)acetylamino, Benzyloxyacetylamino, 3-ethoxy-3-oxopropanoylamino,
 10 1-Adamantylcarbonylamino, hexanoylamino, 2-phenylcyclopropanoylamino, 2-
 phenylbutanoylamino, heptanoylamino, Acetyloxyphenylacetylamino, thien-2-
 ylcarbonylamino, 2-methylbutanoylamino, 8-methoxy-8-oxooctanoylamino, 2-
 ethylbutanoylamino, octanoylamino, cyclobutylcarbonylamino, 1,3-dioxo-1,3-dihydro-
 2H-isoindol-2-yl, Benzylthio, morpholin-4-ylsulfonylbenzoylamino, 1H-indol-2-
 15 ylcarbonylamino, 1-methyl-1H-indol-2-ylcarbonylamino, 5-phenylisoxazol-3-
 ylcarbonylamino, 5-phenylpentanoylamino, 4-phenylbutanoylamino, 4-(4-
 methoxyphenyl)butanoylamino, 2-Chlorophenylacetylamino, 2,4-
 dichlorophenylacetylamino, 3,4-dichlorophenylacetylamino, 3-
 Chlorophenylacetylamino, 3-(trifluoromethyl)phenylacetylamino, 3-
 20 methylphenylacetylamino, 4-tert-Butylphenylacetylamino, 3-
 methoxyphenylacetylamino, 2-methoxyphenylacetylamino, 2-methylphenylacetylamino,
 4-(trifluoromethyl)phenylacetylamino, 4-isopropylphenylacetylamino, 4-
 methylphenylacetylamino, 4-fluorophenylacetylamino, 2-
 (trifluoromethyl)phenylacetylamino, 3-fluorophenylacetylamino,
 25 phenylthioacetylamino, naphthylacetylamino, naphthylloxyacetylamino, 2-
 propoxybenzoylamino, tetrahydrofuran-3-ylcarbonylamino, 1-
 methylcyclopropylcarbonylamino, 4-ethoxyphenylacetylamino, 1-Benzothien-3-
 ylacetylamino, 1,1'-Biphenyl-4-ylcarbonylamino, 4-Butoxybenzoylamino, 2-(2-
 phenylethyl)benzoylamino, 1,1'-Biphenyl-2-ylcarbonylamino, 4-
 30 (ethylthio)benzoylamino, 2-(methylsulfonyl)benzoylamino, 2,6-
 dichlorophenylacetylamino, 1,1'-Biphenyl-4-ylacetylamino, 1,3-Benzodioxol-5-
 ylacetylamino, 3,3-dimethylbutanoylamino, thien-2-ylacetylamino, 3-methyl-5-
 phenylisoxazol-4-ylcarbonylamino, [2-(2-methoxyethoxy)ethoxy]acetylamino, (2-

hydroxybenzoyl)amino, prolylamino, (3-methylisoxazol-5-yl)acetylamino, and 4-Azido-3-iodobenzoylamino.

44. The compound of claim 1, wherein R₆ is H, halo, -CN, NH₂, NO₂, methyl,
5 methoxy, -(CH₂)₂-OH, morpholinyl, and -(CH₂)₂-O-CO-CH₃.

45. A compound selected from

5-cyano-2-[(1H-indol-2-ylcarbonyl)amino]benzoic acid;
5-cyano-2-{[(5-methoxy-1H-indol-2-yl)carbonyl]amino} benzoic acid;
10 2-({[5-(benzyloxy)-1H-indol-2-yl]carbonyl} amino)-5-cyanobenzoic acid;
5-cyano-2-{[(1-methyl-1H-indol-2-yl)carbonyl]amino} benzoic acid;
2-({[6-(benzyloxy)-1H-indol-2-yl]carbonyl} amino)-5-cyanobenzoic acid;
2-({[(7-chloro-1H-indol-2-yl)carbonyl]amino}-5-cyanobenzoic acid;
5-cyano-2-{[(4-methoxy-1H-indol-2-yl)carbonyl]amino} benzoic acid;
15 5-bromo-2-{[(1-methyl-1H-indol-2-yl)carbonyl]amino} benzoic acid;
2-({[(6-chloro-1H-indol-2-yl)carbonyl]amino}-5-cyanobenzoic acid;
2-({[(1-benzyl-1H-indol-2-yl)carbonyl]amino}-5-cyanobenzoic acid;
5-cyano-2-{[(1-ethyl-1H-indol-2-yl)carbonyl]amino} benzoic acid;
5-cyano-2-({[7-(phenylsulfonyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
20 2-({[(1-allyl-1H-indol-2-yl)carbonyl]amino}-5-cyanobenzoic acid;
5-cyano-2-({[1-(cyclohexylmethyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
5-cyano-2-({[1-(2-methoxyethyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
5-cyano-2-{[(1-pentyl-1H-indol-2-yl)carbonyl]amino} benzoic acid;
2-({[(1-butyl-1H-indol-2-yl)carbonyl]amino}-5-cyanobenzoic acid;
25 5-cyano-2-{[(1-propyl-1H-indol-2-yl)carbonyl]amino} benzoic acid;
5-chloro-2-{[(1-propyl-1H-indol-2-yl)carbonyl]amino} benzoic acid;
2-({[(1-butyl-1H-indol-2-yl)carbonyl]amino}-5-chlorobenzoic acid;
5-chloro-2-{[(1-pentyl-1H-indol-2-yl)carbonyl]amino} benzoic acid;
5-chloro-2-({[1-(2-methoxyethyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
30 5-chloro-2-({[1-(cyclohexylmethyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
2-({[(1-allyl-1H-indol-2-yl)carbonyl]amino}-5-chlorobenzoic acid;
2-({[(1-allyl-1H-indol-2-yl)carbonyl]amino}-5-bromobenzoic acid;
5-bromo-2-({[1-(cyclohexylmethyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
5-bromo-2-({[1-(2-methoxyethyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;

- 5-bromo-2-{{(1-pentyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
 5-bromo-2-{{(1-butyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
 5-bromo-2-{{(1-propyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
 2-{{(1-benzyl-1H-indol-2-yl)carbonyl}amino}-5-chlorobenzoic acid;
 5 2-{{(1-benzyl-1H-indol-2-yl)carbonyl}amino}-5-bromobenzoic acid;
 5-bromo-2-{{(1-isopropyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
 5-cyano-2-{{(1-isopropyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
 5-chloro-2-{{(1-methyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
 5-chloro-2-{{(1-isobutyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
 10 5-bromo-2-{{(1-isobutyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
 5-cyano-2-{{(1-isobutyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
 5-cyano-2-({[1-(3-phenylpropyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
 5-chloro-2-({[1-(3-phenylpropyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
 5-bromo-2-({[1-(3-phenylpropyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
 15 5-chloro-2-({[7-(phenylsulfonyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
 5-bromo-2-({[7-(phenylsulfonyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
 5-cyano-2-({[1-methyl-7-(phenylsulfonyl)-1H-indol-2-yl]carbonyl} amino)benzoic acid;
 5-bromo-2-({[1-methyl-7-(phenylsulfonyl)-1H-indol-2-yl]carbonyl} amino)benzoic
 acid;
 20 5-chloro-2-({[1-methyl-7-(phenylsulfonyl)-1H-indol-2-yl]carbonyl} amino)benzoic
 acid;
 5-cyano-2-[({7-[(phenylacetyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
 2-({[7-(benzoylamino)-1H-indol-2-yl]carbonyl} amino)-5-cyanobenzoic acid;
 2-{{(7-{{(acetyloxy)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}-5-cyanobenzoic
 25 acid;
 5-cyano-2-[({7-[(cyclopentylcarbonyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic
 acid;
 2-{{(7-amino-1H-indol-2-yl)carbonyl}amino}-5-cyanobenzoic acid;
 2-{{(7-{{(6-chloropyridin-3-yl)carbonyl}amino})-1H-indol-2-yl)carbonyl}amino}-5-
 30 cyanobenzoic acid;
 5-cyano-2-[({7-[(isoxazol-5-ylcarbonyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic
 acid;

- 5-cyano-2-[({7-[(2,4-difluorobenzoyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 5-cyano-2-[({7-[(fluoroacetyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 2-([7-(acetylamino)-1H-indol-2-yl]carbonyl)amino)-5-cyanobenzoic acid;
- 5 2-[({7-[(4-chlorophenyl)acetyl]amino}-1H-indol-2-yl)carbonyl]amino}-5-cyanobenzoic acid;
- 5-cyano-2-{[(7-[(4-methoxyphenyl)acetyl]amino)-1H-indol-2-yl]carbonyl]amino}benzoic acid;
- 5-cyano-2-[({7-[(cyclopentylacetyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 10 acid;
- 5-cyano-2-[({7-[(3-fluorobenzoyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 5-cyano-2-[({7-[(cyclohexylcarbonyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 5-cyano-2-([7-(propionylamino)-1H-indol-2-yl]carbonyl)amino)benzoic acid;
- 15 5-cyano-2-[({7-[(5-methoxy-5-oxopentanoyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 2-([7-(butyrylamino)-1H-indol-2-yl]carbonyl)amino)-5-cyanobenzoic acid;
- 2-[({7-[(4-bromobenzoyl)amino]-1H-indol-2-yl} carbonyl)amino]-5-cyanobenzoic acid;
- 5-cyano-2-[({7-[(3-phenylpropanoyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 20 acid;
- 5-cyano-2-[({7-[(phenoxyacetyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 5-cyano-2-[({7-[(3-cyclopentylpropanoyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 5-cyano-2-[({7-[(3-methoxy-3-oxopropanoyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 25 5-cyano-2-[({7-[(2-ethylhexanoyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 5-cyano-2-{[(7-[(3,4-dimethoxyphenyl)acetyl]amino)-1H-indol-2-yl]carbonyl]amino}benzoic acid;
- 5-cyano-2-[({7-[(3,5,5-trimethylhexanoyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 30 5-cyano-2-[({7-[(cyclopropylcarbonyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;
- 5-cyano-2-[({7-[(methoxyacetyl)amino]-1H-indol-2-yl} carbonyl)amino]benzoic acid;

- 5-cyano-2-[(7-[(3-methylbutanoyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-[(7-(pentanoylamino)-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-[(7-[(4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]hept-1-yl)carbonyl]amino)-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 2-[(7-[(chloro(phenyl)acetyl]amino)-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
- 2-[(7-[(benzyloxy)acetyl]amino)-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
- 10 5-cyano-2-[(7-[(3-ethoxy-3-oxopropanoyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 2-[(7-[(1-adamantylcarbonyl)amino]-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
- 5-cyano-2-[(7-(hexanoylamino)-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 15 5-cyano-2-[(7-[(2-phenylbutanoyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-[(7-(heptanoylamino)-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 2-[(7-[(acetyloxy)(phenyl)acetyl]amino)-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
- 20 5-cyano-2-[(7-[(2-phenylcyclopropyl)carbonyl]amino)-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-[(7-[(thien-2-ylcarbonyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-[(7-[(2-methylbutanoyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 25 5-cyano-2-[(7-[(8-methoxy-8-oxooctanoyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-[(7-[(2-ethylbutanoyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-[(7-(octanoylamino)-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 30 5-cyano-2-[(7-[(cyclobutylcarbonyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-[(7-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)-1H-indol-2-yl)carbonyl]amino]benzoic acid;

- 2-([7-([2-(benzylthio)-1,3-thiazol-4-yl]carbonyl)amino]-1H-indol-2-yl]carbonyl)amino)-5-cyanobenzoic acid;
- 5-cyano-2-([7-([3-(morpholin-4-ylsulfonyl)benzoyl]amino)-1H-indol-2-yl]carbonyl)amino]benzoic acid;
- 5 5-cyano-2-([7-([1H-indol-2-ylcarbonyl]amino)-1H-indol-2-yl]carbonyl)amino]benzoic acid;
- 5-cyano-2-([7-([1-methyl-1H-indol-2-yl]carbonyl)amino)-1H-indol-2-yl]carbonyl]amino]benzoic acid;
- 5-cyano-2-([7-([5-phenylisoxazol-3-yl]carbonyl)amino)-1H-indol-2-yl]carbonyl]amino]benzoic acid;
- 10 5-cyano-2-([7-([5-phenylpentanoyl]amino)-1H-indol-2-yl]carbonyl)amino]benzoic acid;
- 5-cyano-2-([7-([4-phenylbutanoyl]amino)-1H-indol-2-yl]carbonyl)amino]benzoic acid;
- 15 5-cyano-2-([7-([4-(4-methoxyphenyl)butanoyl]amino)-1H-indol-2-yl]carbonyl)amino]benzoic acid;
- 2-([7-([2-chlorophenyl]acetyl)amino)-1H-indol-2-yl]carbonyl]amino)-5-cyanobenzoic acid;
- 5-cyano-2-([7-([2,4-dichlorophenyl]acetyl)amino)-1H-indol-2-yl]carbonyl]amino]benzoic acid;
- 20 5-cyano-2-([7-([3,4-dichlorophenyl]acetyl)amino)-1H-indol-2-yl]carbonyl]amino]benzoic acid;
- 2-([7-([3-chlorophenyl]acetyl)amino)-1H-indol-2-yl]carbonyl]amino)-5-cyanobenzoic acid;
- 25 5-cyano-2-([7-([3-(trifluoromethyl)phenyl]acetyl)amino)-1H-indol-2-yl]carbonyl]amino]benzoic acid;
- 5-cyano-2-([7-([3-methylphenyl]acetyl)amino)-1H-indol-2-yl]carbonyl]amino]benzoic acid;
- 2-([7-([4-tert-butylphenyl]acetyl)amino)-1H-indol-2-yl]carbonyl]amino)-5-cyanobenzoic acid;
- 30 5-cyano-2-([7-([3-methoxyphenyl]acetyl)amino)-1H-indol-2-yl]carbonyl]amino]benzoic acid;

- 5-cyano-2-{{(7-{{(2-methoxyphenyl)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 5-cyano-2-{{(7-{{(2-methylphenyl)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 5 5-cyano-2-((7-({(4-(trifluoromethyl)phenyl)acetyl}amino))-1H-indol-2-yl)carbonyl}amino)benzoic acid;
- 5-cyano-2-{{(7-{{(4-isopropylphenyl)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 5-cyano-2-{{(7-{{(4-methylphenyl)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 10 5-cyano-2-{{(7-{{(4-fluorophenyl)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 5-cyano-2-((7-({(2-(trifluoromethyl)phenyl)acetyl}amino))-1H-indol-2-yl)carbonyl}amino)benzoic acid;
- 15 5-cyano-2-{{(7-{{(3-fluorophenyl)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 5-cyano-2-{{(7-{{(phenylthio)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 5-cyano-2-[(7-[(2-naphthylacetyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 20 5-cyano-2-[(7-[(1-naphthylacetyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-{{(7-{{(2-naphthyloxy)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 5-cyano-2-[(7-[(2-propoxybenzoyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 25 5-cyano-2-[(7-[(tetrahydrofuran-3-ylcarbonyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-{{(7-{{(1-methylcyclopropyl)carbonyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 5-cyano-2-{{(7-{{(4-ethoxyphenyl)acetyl}amino})-1H-indol-2-yl)carbonyl}amino}benzoic acid;
- 30 2-[(7-[(1-benzothien-3-ylacetyl)amino]-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;

- 2-[(7-[(1,1'-biphenyl-4-ylcarbonyl)amino]-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
- 2-[(7-[(4-butoxybenzoyl)amino]-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
- 5 5-cyano-2-[(7-[(2-(2-phenylethyl)benzoyl)amino]-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 2-[(7-[(1,1'-biphenyl-2-ylcarbonyl)amino]-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
- 5-cyano-2-[(7-[(4-(ethylthio)benzoyl)amino]-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 10 5-cyano-2-[(7-[(2-(methylsulfonyl)benzoyl)amino]-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 5-cyano-2-[(7-[(2,6-dichlorophenyl)acetyl]amino]-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 15 2-[(7-[(1,1'-biphenyl-4-ylacetyl)amino]-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
- 2-[(7-[(1,3-benzodioxol-5-ylacetyl)amino]-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
- 5-cyano-2-[(7-[(3,3-dimethylbutanoyl)amino]-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 20 5-cyano-2-[(7-[(thien-2-ylacetyl)amino]-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 5-cyano-2-[(7-[(3-methyl-5-phenylisoxazol-4-yl)carbonyl]amino]-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 5-cyano-2-[(7-[(2-(2-methoxyethoxy)ethoxy)acetyl]amino)-1H-indol-2-yl]carbonyl} amino) benzoic acid;
- 25 5-cyano-2-[(7-[(2-hydroxybenzoyl)amino]-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 5-cyano-2-[(7-[(4-(trifluoromethoxy)phenyl)sulfonyl]amino)-1H-indol-2-yl]carbonyl} amino) benzoic acid;
- 30 5-cyano-2-[(7-(proylamino)-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 5-cyano-2-[(7-[(3-methylisoxazol-5-yl)acetyl]amino)-1H-indol-2-yl)carbonyl]amino} benzoic acid;
- 2-[(7-[(benzylsulfonyl)amino]-1H-indol-2-yl)carbonyl]amino]-5-cyanobenzoic acid;

- 5-cyano-2-{{(1-methyl-7-{{3-(morpholin-4-ylsulfonyl)benzoyl}amino}}-1H-indol-2-yl)carbonyl}amino} benzoic acid;
- 5-cyano-2-{{(7-{{(4-fluorophenyl)acetyl}amino}}-1-methyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
- 5 5-cyano-2-[(7-[(fluoroacetyl)amino]-1-methyl-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-{{(1-methyl-7-{{(1-methyl-1H-indol-2-yl)carbonyl}amino}}-1H-indol-2-yl)carbonyl}amino} benzoic acid;
- 2-({[6-(benzyloxy)-1-methyl-1H-indol-2-yl]carbonyl}amino)-5-cyanobenzoic acid;
- 10 5-cyano-2-{{(6-methoxy-1-methyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
- 5-cyano-2-[(1-methyl-7-[(morpholin-4-ylcarbonyl)amino]-1H-indol-2-yl)carbonyl]amino]benzoic acid;
- 5-cyano-2-({[1-methyl-7-({[(tetrahydrofuran-2-ylmethyl)amino]carbonyl}amino)-1H-indol-2-yl]carbonyl}amino)benzoic acid;
- 15 5-cyano-2-{{(7-hydroxy-1-methyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;
- 2-{{(7-{{(benzylamino)carbonyl}amino}}-1-methyl-1H-indol-2-yl)carbonyl}amino}-5-cyanobenzoic acid;
- 5-cyano-2-({[7-({[(2,3-dihydroxypropyl)amino]carbonyl}amino)-1-methyl-1H-indol-2-yl]carbonyl}amino)benzoic acid;
- 20 1-[[2-{{(2-carboxy-4-cyanophenyl)amino]carbonyl}-1-methyl-1H-indol-7-yl)amino]carbonyl}(methyl)amino]-1-deoxyhexitol;
- 5-cyano-2-({[7-(2,3-dihydro-1,4-benzodioxin-2-ylmethoxy)-1-methyl-1H-indol-2-yl]carbonyl}amino)benzoic acid;
- 2-({[7-(benzyloxy)-1H-indol-2-yl]carbonyl}amino)-5-cyanobenzoic acid;
- 25 5-cyano-2-({[1-methyl-7-(3-phenoxypropoxy)-1H-indol-2-yl]carbonyl}amino)benzoic acid;
- 5-cyano-2-({[7-(cyclobutylmethoxy)-1-methyl-1H-indol-2-yl]carbonyl}amino)benzoic acid;
- 5-cyano-2-({[7-(2-furylmethoxy)-1-methyl-1H-indol-2-yl]carbonyl}amino)benzoic acid;
- 30 5-cyano-2-{{(7-{{(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy}}-1-methyl-1H-indol-2-yl)carbonyl}amino} benzoic acid;

- 5-cyano-2-([(7-([(2R)-2,3-dihydroxypropyl]oxy)-1-methyl-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(7-(cyclobutyloxy)-1-methyl-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(7-(2-methoxy-1-methylethoxy)-1-methyl-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(7-isopropoxy-1-methyl-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 2-([(7-(benzyloxy)-1-methyl-1H-indol-2-yl)carbonyl]amino)-5-cyanobenzoic acid;
- 2-([(6-sec-butoxy-1-methyl-1H-indol-2-yl)carbonyl]amino)-5-cyanobenzoic acid;
- 2-([(6-butoxy-1-methyl-1H-indol-2-yl)carbonyl]amino)-5-cyanobenzoic acid;
- 5-cyano-2-([(7-(cyclohexylmethoxy)-1-methyl-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(7-(cyclopropylmethoxy)-1-methyl-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(1-methyl-7-(tetrahydro-2H-pyran-2-ylmethoxy)-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(1-methyl-7-(pentyloxy)-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(7-(2-methoxyethoxy)-1-methyl-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(7-(2-hydroxy-3-isopropoxypropoxy)-1-methyl-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(1-methyl-7-[2-(methylthio)ethoxy]-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 2-([(7-[(4-azido-3-iodobenzoyl)amino]-1-methyl-1H-indol-2-yl)carbonyl]amino)-5-cyanobenzoic acid;
- 5-cyano-2-([(7-[(3-cyanobenzoyl)amino]-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(1-methyl-6-[2-(trifluoromethyl)phenyl]-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-cyano-2-([(1-methyl-6-(2,3,4-trimethoxyphenyl)-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 5-iodo-2-([(1-methyl-1H-indol-2-yl)carbonyl]amino)benzoic acid;
- 2-([(4-(benzylsulfanyl)-2-pyridinyl)carbonyl]amino)-5-bromobenzoic acid;
- 2-([(6-(benzylsulfanyl)-2-pyridinyl)carbonyl]amino)-5-bromobenzoic acid;
- 5-bromo-2-([(3-chloro-5-(trifluoromethyl)-2-pyridinyl)carbonyl]amino)benzoic acid;

- 5-bromo-2-[(pyridin-2-ylcarbonyl)amino]benzoic acid;
 5-bromo-2-[[[(5-butylpyridin-2-yl)carbonyl]amino]benzoic acid;
 5-bromo-2-[(quinolin-2-ylcarbonyl)amino]benzoic acid;
 5-bromo-2-[[[(6-bromopyridin-2-yl)carbonyl]amino]benzoic acid;
 5 2-[[[(3-benzoylpyridin-2-yl)carbonyl]amino]-5-bromobenzoic acid;
 2-[[[(6-bromopyridin-2-yl)carbonyl]amino]-5-cyanobenzoic acid;
 5-cyano-2-[(pyridin-2-ylcarbonyl)amino]benzoic acid;
 5-cyano-2-[(quinolin-2-ylcarbonyl)amino]benzoic acid;
 5-cyano-2-[[[(2-phenylfuro[2,3-c]pyridin-5-yl)carbonyl]amino]benzoic acid;
 10 5-cyano-2-[[[(3-methylfuro[2,3-c]pyridin-5-yl)carbonyl]amino]benzoic acid;
 2-([4-(benzyloxy)pyridin-2-yl]carbonyl)amino)-5-bromobenzoic acid;
 5-bromo-2-[[[(4-chloro-1-oxidopyridin-2-yl)carbonyl]amino]benzoic acid;
 2-([4-(benzyloxy)pyridin-2-yl]carbonyl)amino)-5-cyanobenzoic acid;
 2-([4-(benzyloxy)-1-oxidopyridin-2-yl]carbonyl)amino)-5-bromobenzoic acid;
 15 2-([4-(benzylthio)-1-oxidopyridin-2-yl]carbonyl)amino)-5-bromobenzoic acid;
 5-cyano-2-[(isoquinolin-3-ylcarbonyl)amino]benzoic acid;
 5-bromo-2-[(quinoxalin-2-ylcarbonyl)amino]benzoic acid;
 5-bromo-2-[[[(5-methylpyrazin-2-yl)carbonyl]amino]benzoic acid;
 5-cyano-2-[(pyrazin-2-ylcarbonyl)amino]benzoic acid;
 20 2-([5-(benzylthio)pyrazin-2-yl]carbonyl)amino)-5-cyanobenzoic acid;
 2-([5-(benzylthio)pyrazin-2-yl]carbonyl)amino)-5-bromobenzoic acid;
 2-([6-(benzylthio)pyrazin-2-yl]carbonyl)amino)-5-cyanobenzoic acid;
 2-([6-(benzylthio)pyrazin-2-yl]carbonyl)amino)-5-bromobenzoic acid;
 2-([5-(butylthio)pyrazin-2-yl]carbonyl)amino)-5-cyanobenzoic acid;
 25 5-bromo-2-([5-(sec-butylthio)pyrazin-2-yl]carbonyl)amino)benzoic acid;
 5-bromo-2-([5-(butylthio)pyrazin-2-yl]carbonyl)amino)benzoic acid;
 2-([5-(butylthio)pyrazin-2-yl]carbonyl)amino)-5-chlorobenzoic acid;
 5-bromo-2-([5-(pentylthio)pyrazin-2-yl]carbonyl)amino)benzoic acid;
 5-bromo-2-([5-(hexylthio)pyrazin-2-yl]carbonyl)amino)benzoic acid;
 30 2-([5-(sec-butylthio)pyrazin-2-yl]carbonyl)amino)-5-cyanobenzoic acid;
 5-cyano-2-([5-(pentylthio)pyrazin-2-yl]carbonyl)amino)benzoic acid;
 5-cyano-2-[[[(5-[[3-(2-methoxyethoxy)propyl]thio]pyrazin-2-yl)carbonyl]amino]benzoic acid;

- 5-chloro-2-({[5-(pentylthio)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-cyano-2-({[5-(hexylthio)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-chloro-2-({[5-(hexylthio)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 2-({[5-(sec-butylthio)pyrazin-2-yl]carbonyl} amino)-5-chlorobenzoic acid;
 5 5-bromo-2-({[(5-methoxypyrazin-2-yl)carbonyl] amino} benzoic acid;
 5-cyano-2-({[5-(2-phenylethyl)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-bromo-2-({[(5-{{(E)-2-[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]ethenyl} pyrazin-2-yl)carbonyl] amino} benzoic acid;
 5-cyano-2-({[5-(isopentylthio)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 10 5-cyano-2-({[5-(isobutylthio)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-cyano-2-({[(5-methoxypyrazin-2-yl)carbonyl] amino} benzoic acid;
 5-cyano-2-({[5-(hexyloxy)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-cyano-2-([({5-[2-(trifluoromethyl)phenyl]pyrazin-2-yl} carbonyl) amino] benzoic acid;
 5-cyano-2-([({5-[(4-methoxybenzyl)thio]pyrazin-2-yl} carbonyl) amino] benzoic acid;
 15 5-cyano-2-({[5-(2-fluorophenyl)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-bromo-2-({[(5-{{(E)-2-[(2S)-1,4-dioxaspiro[4.5]dec-2-yl]ethenyl} pyrazin-2-yl)carbonyl] amino} benzoic acid;
 5-cyano-2-({[5-(2-methylphenyl)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-cyano-2-({[5-(2,3,4-trimethoxyphenyl)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 20 5-cyano-2-({[5-(nonylthio)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-cyano-2-({[5-(octylthio)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-cyano-2-({[5-(6-methoxypyridin-3-yl)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-cyano-2-({[(5-phenylpyrazin-2-yl)carbonyl] amino} benzoic acid;
 5-cyano-2-([({5-[4-(methylsulfonyl)phenyl]pyrazin-2-yl} carbonyl) amino] benzoic acid;
 25 5-cyano-2-({[5-(3,5-dimethylisoxazol-4-yl)pyrazin-2-yl]carbonyl} amino)benzoic acid;
 5-cyano-2-({[6-(hexylthio)pyridazin-3-yl]carbonyl} amino)benzoic acid; and
 5-cyano-2-([({6-[2-(trifluoromethyl)phenyl]pyridazin-3-yl} carbonyl) amino] benzoic acid.
- 30 46. A method for the sanitizing or disinfecting including administrating an effective amount of the antimicrobial compoundsof claim 1.